

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



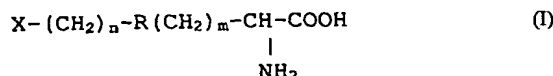
(43) International Publication Date
23 December 2004 (23.12.2004)

PCT

(10) International Publication Number
WO 2004/110500 A1

- (51) International Patent Classification⁷: **A61K 49/10**,
A61P 35/00, A61K 31/195
- (21) International Application Number:
PCT/US2003/024436
- (22) International Filing Date: 1 August 2003 (01.08.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
02078228.0 2 August 2002 (02.08.2002) EP
- (71) Applicant (for all designated States except US):
MALLINCKRODT INC. [US/US]; 675 McDonnell
Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): **MERTENS, John,**
J.R. [BE/BE]; James Ensorlaan, 38, B-1800 Vilvoorde
(BE).
- (74) Agents: **CHEATHAM, Tim, A.** et al.; 675 McDonnell
Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).
- (81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC,
SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: RADIOACTIVELY LABELLED AMINO ACID ANALOGUES, THEIR PREPARATION AND USE



(57) **Abstract:** The present invention relates to Halogenated amino acid analogues for use in diagnosis, which compounds have the general formula (I) wherein : R is (C₁-C₆) alkyl optionally substituted with thioether or ether oxygen atom when n = 0, or a substituted aromatic or heteraromatic ring when n = 1-6; and m = 0 or 1; and X is a halogen atom. The invention further relates to precursor compounds for these analogues, to a method of preparing these analogues, to a pharmaceutical composition comprising these analogues and to the use of these analogues and compositions in the diagnosis of cancer.